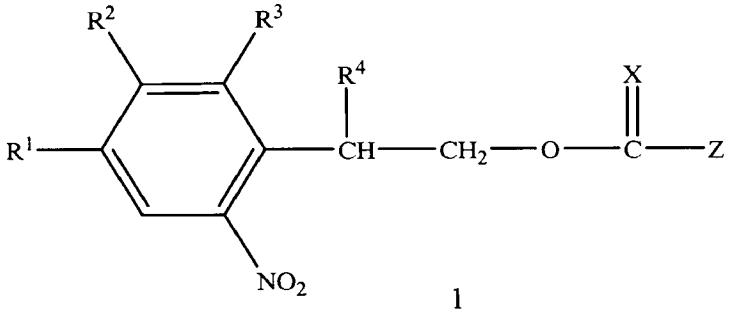
Claim Amendments

This listing of claims will replace all prior versions, and listings, of claims in the application

Listing of Claims

Claim 1. (Currently Amended) A compound having the formula (1):



1

wherein

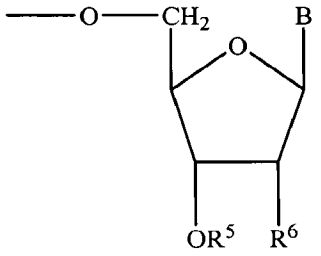
R^1 is selected from the group consisting of H, NO_2, CN, OCH_3, a halogen, an alkyl having up to 4 carbon atoms, ~~a substituted alkyl having up to 4 carbon atoms,~~ and an alkoxyl having up to 4 carbon atoms, ~~a substituted alkoxyl having up to 4 carbon atoms,~~ under the proviso that R^2 is selected from the group consisting of an aryl group, a substituted aryl group, a heteroaryl group, substituted heteroaryl group, an aroyl group, and a substituted aroyl group;

R^3 is selected from the group consisting of H, NO_2 and a halogen;

R^4 is selected from the group consisting of H, OCH_3 and an alkyl group having up to 4 carbon atoms[[,]] ~~and a substituted alkyl group having up to 4 carbon atoms;~~

X is selected from oxygen or sulfur; and

Z is selected from the group consisting of a leaving group, an alcoholate group, -OH, and a N-atom of an amine compound, or a deoxyribonucleoside or a ribonucleoside as represented by either of the following formulae (2) or (3):



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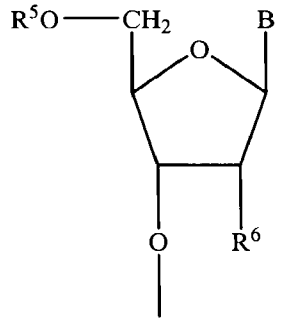


3

wherein

R^5 is selected from the group consisting of a H, an oligonucleotide and a functional group useful in oligonucleotide synthesis;

R^6 is selected from the group consisting of H, OH , an alkoxyl having up to 4 carbon atoms, ~~a substituted alkoxyl having up to 4 carbon atoms,~~ an alkenoxyl group having up to 4 carbon atoms, a substituted alkenoxyl group having up to 4 carbon atoms, or WR^8 wherein W is selected from oxygen and sulfur and R^8 is selected from a protective group useful in oligonucleotide synthesis;

B is base selected from the group consisting of adenine, cytosine, guanine, thymine, uracil and chemical modifications thereof and in the case of adenosine, cytosine and guanine the amino functions on the heterocycle may bear a protective group useful in oligonucleotide synthesis; or

Z is selected from the group consisting of a chemically modified deoxyribonucleoside, a chemically modified ribonucleoside, and an analog thereof.

Claim 2. (Canceled)

Claim 3. (Previously Presented) The compound of claim 1, wherein R^1 is H and R^2 is phenyl or substituted phenyl.

Claim 4. (Previously Presented) The compound of claim 1, wherein R^1 is H and R^2 is benzoyl or substituted benzoyl.

Claim 5. (Previously Presented) The compound of claim 1 wherein W is O and R^8 is selected from the group consisting of an alkyl, alkenyl, acetal and silylether protective group.

Claim 6. (Original) The compound of claim 1, wherein W is S and R^8 is selected from the group consisting of an alkyl protective group.

Claim 7. (Previously Presented) The compound of claim 1, wherein R^6 is selected from the group consisting of an O-methyl, O-ethyl, O-allyl, O-tetrahydropyranyl- O-methoxytetrahydropyranyl and an O-t-butyldimethylsilyl.

Claim 8. (Previously Presented) The compound of claim 1, wherein B is selected from the group consisting of adenine, cytosine and guanine and wherein R^8 is selected from the group consisting of phenoxyacetyl, 4-tert-butyl-phenoxyacetyl, 4-isopropyl-phenoxyacetyl and dimethylformamidino.

Claim 9. (Previously Presented) The compound of claim 1, wherein B is adenine and is selected from the group consisting of benzoyl and p-nitrophenyloxycarbonyl (p-NPEOC).

Claim 10. (Previously Presented) The compound of claim 1, wherein B is guanine and wherein R^8 is selected from the group consisting of isobutyroyl and p-nitrophenylethyloxycarbonyl (pNPEOC).

Claim 11. (Previously Presented) The compound of claim 1, wherein B is cytosine and wherein R^8 is selected from the group consisting of benzoyl, isobutyroyl and p-nitrophenylethyloxycarbonyl (p-NPEOC).

Claim 12. (Original) The compound of claim 1, wherein R^5 is a phosphitamide group.

Claim 13. (Previously Presented) The compound of claim 1, wherein R^5 is OH-protective group.

Claim 14. (Previously Presented) The compound of claim 13, wherein R^5 is dimethoxytrityl- or a monomethoxytrityl- group.

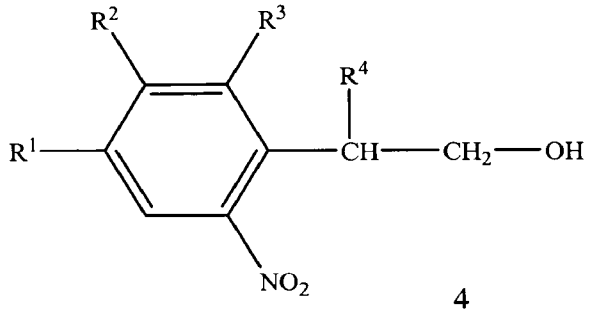
Claim 15. (Original) The compound of claim 13, wherein R^5 is a silyl-group.

Claim 16. (Previously Presented) The compound of claim 1, wherein Z is a leaving group.

Claim 17. (Previously Presented) The compound of claim 16, wherein the leaving group is selected from the group consisting of chloride, imidazolyl and nitrophenoxyl.

Claim 18. (Withdrawn) A method for the preparation of a derivatized nucleoside or nucleoside analog thereof comprising the steps of:

a) reacting an alcohol having the formula **4**:



4

wherein

R^1 is COOY, wherein Y is selected from the group consisting of an optionally substituted alkyl group of up to 10 carbon atoms, under the proviso that R2 is selected from the group consisting of H, NO_2, CN, OCH_3, halogen, an optionally substituted alkyl having up to 4 carbon atoms and; and an optionally substituted alkoxyl having up to 4 carbon atoms; or

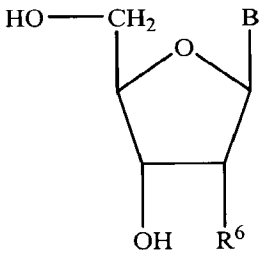
R^1 is selected from the group consisting of H, NO_2, CN OCH_3, a halogen, an optionally substituted alkyl having up to 4 carbon atoms and an optionally substituted alkoxyl having up to 4 carbon atoms, under the proviso that R^2 is selected from the group consisting of an optionally substituted aryl group, an optionally substituted heteroaryl group and an optionally substituted aroyl group;

R^3 is selected from the group consisting of H, NO_2 and a halogen; and

R^4 is selected from the group consisting of H, and OCH_3 and an optionally substituted alkyl group having up to 4 carbon atoms;

with phosgene or a derivative or substitute thereof, or with the respective thiocarbonyl compound, to produce an activated carbonate ester or thiocarbonate ester and

b) reacting the activated carbonate or thiocarbonate ester as formed in step a) with a nucleoside selected from the group consisting of compounds having the formula (5):



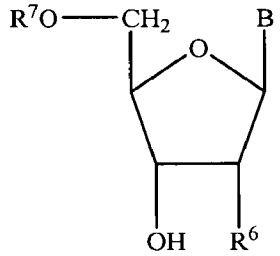
5

wherein

R^6 is selected from the group consisting of H, OH, an optionally substituted alkoxyl having up to 4 carbon atoms and an optionally substituted alkenoxyl having up to 4 carbon atoms, or WR^8 wherein W is selected from oxygen or sulfur and R^8 is selected from a protective group useful in oligonucleotide synthesis and

B is selected from the group consisting of adenine, cytosine, guanine, thymine, uracil and chemical modifications thereof and in the case of adenosine, cytosine and guanine the amino functions on the heterocycle may optionally bear a protective group useful in oligonucleotide synthesis; or with a nucleosidic derivative or analog comprising an unprotected primary hydroxyl function;

or with a nucleoside selected from the group of compounds having formula (6):



6

wherein

R^6 is selected from the group consisting of H, OH, an optionally substituted alkoxyl having up to 4 carbon atoms, and an optionally substituted alkenoxyl having up to 4 carbon atoms, or WR^8 wherein W is selected from oxygen or sulfur and R^8 is selected from a protective group useful in oligonucleotide synthesis and

R^7 is selected from an intermediate protective group or from the group of nucleosidic and nucleotidic derivatives including analogs thereof accordingly comprising an intermediately protected primary hydroxyl;

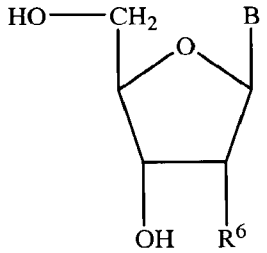
c) optionally removing the intermediate protective group and purifying the product; and

d) reacting the product from step b) or c) with a phosphitylation reagent to provide after purification a phosphoramidite.

Claim 19. (Withdrawn) The method of claim 18 wherein said phosphitylation reagent is bis(diisopropylamino)-β-cyanoethoxy phosphane.

Claim 20. (Withdrawn) A method for the preparation of a derivatized nucleoside or nucleoside analog thereof comprising the steps of:

a) reacting a nucleoside selected from the group consisting of compounds having the formula (5):



5

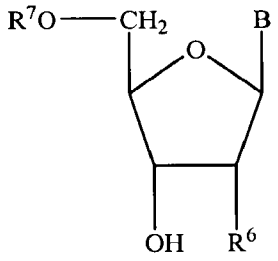
wherein

R^6 is selected from the group consisting of H, OH, an optionally substituted alkoxyl having up to 4 carbon atoms and an optionally substituted alkenoxyl having up to 4 carbon atoms, or WR^8 wherein W is selected from oxygen or sulfur and R^8 is selected from a protective group useful in oligonucleotide synthesis and

B is selected from the group consisting of adenine, cytosine, guanine, thymine, uracil and chemical modifications thereof and in the case of adenosine, cytosine and guanine the amino functions on the heterocycle may optionally bear a protective group useful in oligonucleotide synthesis;

or reacting a nucleosidic derivative or analog comprising an unprotected primary hydroxyl function;

or reacting a nucleoside selected from the group of compounds having formula (6):



6

wherein

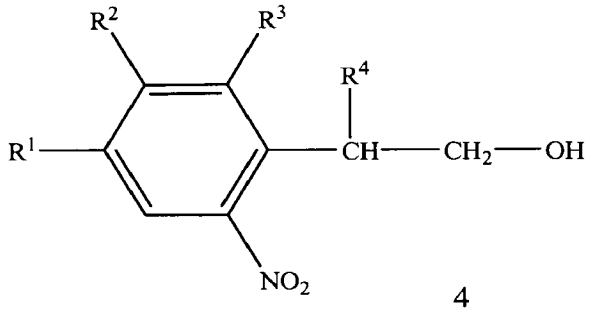
R^6 is selected from the group consisting of H, OH, an optionally substituted alkoxyl having up to 4 carbon atoms and an optionally substituted alkenoxyl having up to 4 carbon atoms, or WR^8 wherein W is selected from oxygen or sulfur and R^8 is selected from a protective group useful in oligonucleotide synthesis and

R^7 is selected from an intermediate protective group or from the group of nucleosidic and nucleotidic derivatives including analogs thereof accordingly comprising an intermediately protected primary hydroxyl function;

or reacting a nucleosidic derivative or analog comprising an unprotected secondary hydroxyl function;

with phosgene or a derivative or substitute thereof, or with the respective thiocarbonyl compound, to produce an activated carbonate ester or thiocarbonate ester;

b) reacting the activated carbonate or thiocarbonate ester as formed in step a) with an alcohol having the formula 4:



4

wherein

R^1 is COOY, wherein Y is selected from the group consisting of an optionally substituted alkyl group of up to 10 carbon atoms, under the proviso that R^2 is selected from the group consisting of H, NO_2, CN, OCH_3, halogen or an optionally substituted alkyl or alkoxyl group, respectively, having up to 4 carbon atoms; or

R^1 is selected from the group consisting of H, NO_2, CN OCH_3, a halogen, an optionally substituted alkyl having up to 4 carbon atoms and an optionally substituted alkoxyl having up to 4 carbon atoms, under the proviso that R^2 is selected from the group consisting of an optionally substituted aryl group, an optionally substituted heteroaryl group and an optionally substituted aroyl group;

R^3 is selected from the group consisting of H, NO_2 and a halogen; and

R^4 is selected from the group consisting of H, OCH_3 and an optionally substituted alkyl group having up to 4 carbon atoms;

c) optionally removing the intermediate protective group and purifying the product; and

d) reacting the product from step b) or c) with a phosphitylation reagent to provide after purification a phosphoramidite.

Claim 21. (Withdrawn) The method of claim 20 wherein said phosphitylation reagent is bis(diisopropylamino)-β-cyanoethoxy phosphane.

Claim 22. (Withdrawn) A method for the light-controlled synthesis of oligonucleotides employing phosphoramidites of claim 12.

Claim 23. (Withdrawn) The method of claim 21, wherein the light controlled oligonucleotide synthesis is effected on a solid support.

Claim 24. (Withdrawn) A method for the light-controlled synthesis of oligonucleotides, wherein said method is comprised of the following steps:

a) attaching, as a first building block, a nucleoside or nucleotide of claim 1 comprising the photolabile protective group at its primary hydroxyl group, to a support via its secondary hydroxyl group;

b) irradiating the support-bound nucleoside or nucleotide resulting from step a), such that the protective group at the primary hydroxyl group is removed, thereby deprotecting the primary hydroxyl group;

c) reacting the support-bound nucleotide resulting from step b) in the presence of an activator with a second nucleotide selected from claim 12 comprising a protective group at its primary hydroxyl group and phosphoramidite functional group at its secondary hydroxyl group, to form an internucleosidic phosphorous linkage;

d) optionally capping unreacted primary hydroxyl groups with an inert alcohol protecting group;

e) oxidizing the internucleosidic phosphorous linkage to the naturally occurring pentavalent state;

f) iterating steps b) to d) while successively applying the phosphoramidite building blocks in a predetermined order until the desired oligonucleotide strand is completed; and

g) removing of all nucleobase and phosphate protective groups.

Claim 25. (Withdrawn) A method for the light-controlled synthesis of oligonucleotides, wherein said method is comprised of the following steps:

a) attaching, a as first building block, a nucleoside or nucleotide of claim 1 comprising the photolabile protective group at its secondary hydroxyl group, to a support via its primary hydroxyl group;

b) irradiating the support-bound nucleotide resulting from step a), such that the protective group at the secondary hydroxyl group is removed, thereby deprotecting the 3' secondary hydroxyl group;

c) reacting the support-bound nucleotide resulting from step b) in the presence of an activator with a second nucleotide selected from claim 12 comprising a protective group at its secondary hydroxyl group and a phosphoramidite functional group at its primary hydroxyl group, to form an internucleosidic phosphorous linkage;

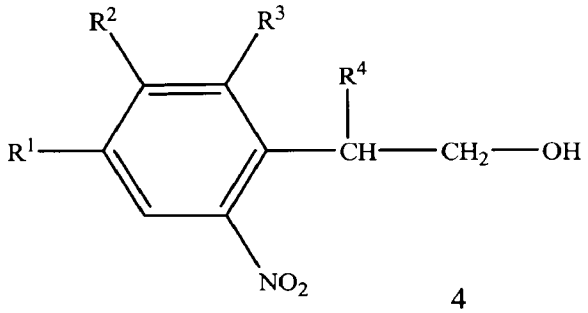
d) optionally capping unreacted secondary hydroxyl groups with an inert alcohol protecting group;

e) oxidizing the internucleosidic phosphorous linkage to the naturally occurring pentavalent state;

f) iterating steps b) to d) while successively applying the phosporamidite building blocks in a predetermined order until the desired oligonucleotide strand is completed; and

g) removing of all nucleobase and phosphate protective groups.

Claim 26. (Withdrawn) A compound having the following formula:



4

wherein

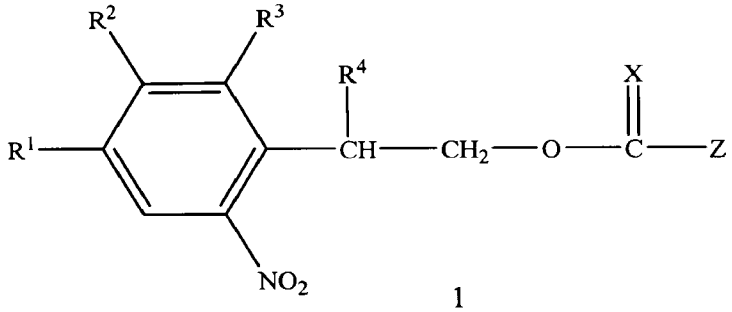
R^1 is COOY, wherein Y is selected from the group consisting of an optionally substituted alkyl group of up to 10 carbon atoms, under the proviso that R^2 is selected from the group consisting of H, NO_2, CN, OCH_3, halogen, an optionally substituted alkyl having up to 4 carbon atoms and an optionally substituted alkoxyl having up to 4 carbon atoms; or

R^1 is selected from the group consisting of H, NO_2, CN OCH_3, a halogen, an optionally substituted alkyl having up to 4 carbon atoms and an optionally substituted alkoxyl having up to 4 carbon atoms, under the proviso that R^2 is selected from the group consisting of an optionally substituted aryl group, an optionally substituted heteroaryl group and an optionally substituted aroyl group;

R^3 is selected from the group consisting of H, NO_2 and a halogen; and

R^4 is selected from the group consisting of H, OCH_3 and an optionally substituted alkyl group having up to 4 carbon atoms.

Claim 27. (Withdrawn) A method for derivatizing a compound having a primary amine, a secondary amine; or a hydroxyl group said method comprising the step of reacting said compound with a compound having the formula:



1

wherein

R^1 is COOY, wherein Y is selected from the group consisting of an optionally substituted alkyl group of up to 10 carbon atoms, under the proviso that R^2 is selected from the group consisting of H, NO_2, CN, OCH_3, a halogen, an optionally substituted alkyl having up to 4 carbon atoms and an optionally substituted alkoxyl having up to 4 carbon atoms; or

R^1 is selected from the group consisting of H, NO_2, CN, OCH_3, a halogen, an optionally substituted alkyl having up to 4 carbon atoms and an optionally substituted alkoxyl having up to 4 carbon atoms, under the proviso that R^2 is selected from the group consisting of an optionally substituted aryl group, an optionally substituted heteroaryl group or an optionally substituted aroyl group;

R^3 is selected from the group consisting of H, NO_2, and a halogen;

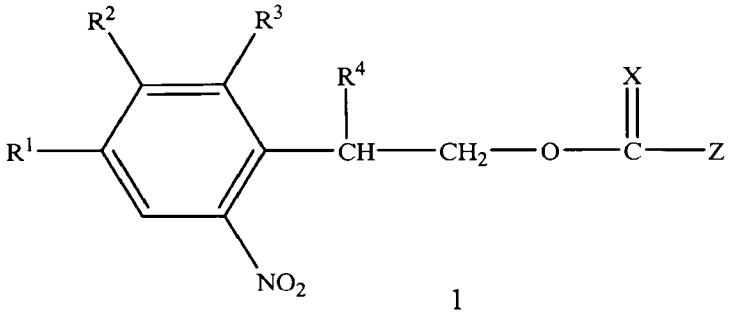
R^4 is selected from the group consisting of H, OCH_3, and an optionally substituted alkyl group having up to 4 carbon atoms;

X is selected from oxygen or sulfur; and

Z is selected from the group consisting of a leaving group.

Claim 28. (Withdrawn) A The method of claim 27 wherein Z is selected from the group consisting of halo, imidazolyl, nitrophenoxyl, (thio)carbonate and (thio)carbamate.

Claim 29. (Withdrawn) A method for removing a photolabile protective group having the following formula:



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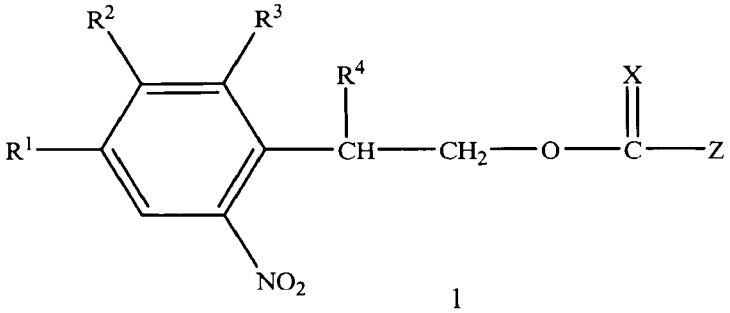
said method comprising the step of irradiating a compound including said protective group.

Claim 30. (Currently Amended) A compound having the formula (1):



1

wherein

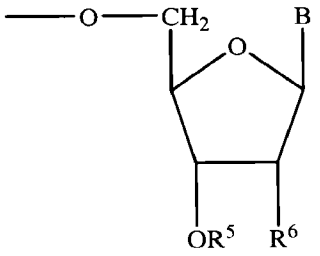
R^1 is COOY, wherein Y is selected from the group consisting of an alkyl group of up to 10 carbon atoms, ~~a substituted alkyl group of up to 10 carbon atoms,~~ under the proviso that R^2 is selected from the group consisting of H, NO_2, CN, OCH_3, a halogen, an alkyl having up to 4 carbon atoms, ~~a substituted alkyl having up to 4 carbon atoms,~~ an alkoxyl having up to 4 carbon atoms~~, and a substituted alkoxyl having up to 4 carbon atoms~~;

R^3 is selected from the group consisting of H, NO_2 and halogen;

R^4 is selected from the group consisting of OCH_3, an alkyl group having up to 4 carbon atoms and an optionally substituted alkyl group having up to 4 carbon atoms;

X is selected from oxygen or sulfur; and

Z is selected from the group consisting of a leaving group, an alcoholate, -OH and a N-atom of an amine compound, or a deoxyribonucleoside and a ribonucleoside as represented by either of the following formulae (2) or (3):



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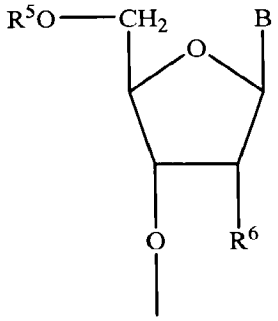

3

wherein

R^5 is selected from the group consisting of a H, an oligonucleotide and a functional group useful in oligonucleotide synthesis;

R^6 is selected from the group consisting of H, OH, an alkoxyl having up to 4 carbon atoms, ~~a substituted alkoxyl having up to 4 carbon atoms, or~~ an alkenoxyl having up to 4 carbon atoms, or a substituted alkenoxyl having up to 4 carbon atoms, or WR^8 wherein W is selected from oxygen and sulfur and R^8 is selected from a protective group useful in oligonucleotide synthesis;

B is base selected from the group consisting of adenine, cytosine, guanine, thymine, uracil and chemical modifications thereof and in the case of adenosine, cytosine and guanine the amino functions on the heterocycle may bear a protective group useful in oligonucleotide synthesis; or

Z is selected from the group consisting of a chemically modified deoxyribonucleoside, a chemically modified ribonucleoside, and an analog thereof.

Claim 31. (Previously Presented) The compound of claim 30, wherein Y is an alkyl group selected from the group consisting of methyl and tertiary-butyl, and R^2 is H.

Claim 32. (Previously Presented) The compound of claim 30 wherein W is O and R^8 is selected from the group consisting of an alkyl, alkenyl, acetal and silylether protective group.

Claim 33. (Previously Presented) The compound of claim 30 wherein W is S and R^8 is selected from the group consisting of an alkyl protective group.

Claim 34. (Previously Presented) The compound of claim 30, wherein R^6 is selected from the group consisting of an O-methyl, O-ethyl, O-allyl, O-tetrahydropyranyl- O-methoxytetrahydropyranyl and an O-t-butyldimethylsilyl.

Claim 35. (Previously Presented) The compound of claim 30, wherein B is selected from the group consisting of adenine, cytosine and guanine and said protective group is selected from the group consisting of phenoxyacetyl, 4-tert-butyl-phenoxyacetyl, 4-isopropyl-phenoxyacetyl and dimethylformamidino.

Claim 36. (Previously Presented) The compound of claim 30, wherein B is adenine and the protective group is selected from the group consisting of benzoyl and p-nitrophenyloxycarbonyl (p-NPEOC).

Claim 37. (Previously Presented) The compound of claim 30, wherein B is guanine and the protective group is selected from the group consisting of isobutyroyl and p-nitrophenylethyloxycarbonyl (pNPEOC).

Claim 38. (Previously Presented) The compound of claim 30, wherein B is cytosine and the protective group is selected from the group consisting of benzoyl, isobutyroyl and p-nitrophenylethyloxycarbonyl (p-NPEOC).

Claim 39. (Previously Presented) The compound of claim 30, wherein R^5 is a phosphitamide group.

Claim 40. (Previously Presented) The compound of claim 30, wherein R^5 is an OH-protective group.

Claim 41. (Previously Presented) The compound of claim 40, wherein R^5 is selected from a dimethoxytrityl- or a monomethoxytrityl- group.

Claim 42. (Previously Presented) The compound of claim 40, wherein R^5 is a silyl-group.

Claim 43. (Previously Presented) The compound of claim 30, wherein Z is a leaving group.

Claim 44. (Previously Presented) The compound of claim 43, wherein the leaving group is selected from the group consisting of chloride, imidazolyl and nitrophenoxyl.

Claim 45. (Previously Presented) The compound of claim 31, wherein Z is a leaving group.

Claim 46. (Previously Presented) The compound of claim 45, wherein the leaving group is selected from the group consisting of chloride, imidazolyl and nitrophenoxyl.